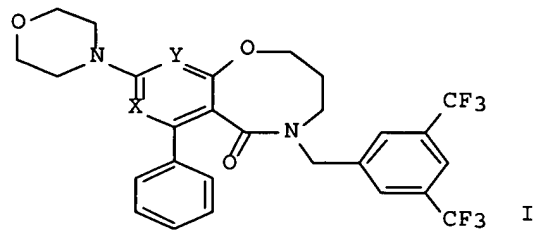
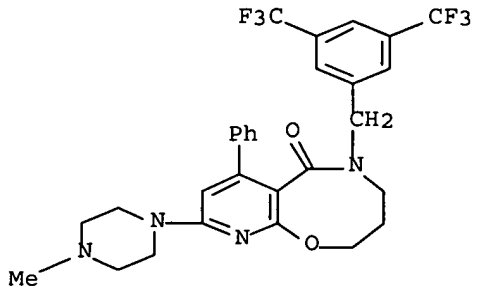
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:130314 CAPLUS Full-text
DN 142:373812
TI Design and synthesis of novel 9-substituted-7-aryl-3,4,5,6-tetrahydro-2H-pyrido[4,3-b]- and [2,3-b]-1,5-oxazocin-6-ones as NK1 antagonists
AU Seto, Shigeki; Tanioka, Asao; Ikeda, Makoto; Izawa, Shigeru
CS Discovery Research Laboratories, Ltd, Kyorin Pharmaceutical Co., Tochigi, 329-0114, Japan
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(5), 1479-1484
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
GI



I

AB Novel 9-substituted-7-aryl-3,4,5,6-tetrahydro-2H-pyrido[4,3-b]- and [2,3-b]-1,5-oxazocin-6-ones, e.g. I (X = N, Y = CH) and I (X = CH, Y = N), were designed and prepared as part of a search for NK1 antagonists. Structure-activity relationship studies indicated that the conformational restriction resulting from the incorporation of an oxazocine ring and the presence of a terminal heteroatom on the cyclic amino group at the C-9 position play important roles in NK1 receptor recognition.

IT **849619-29-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design and synthesis of novel 9-substituted-7-aryl-3,4,5,6-tetrahydro-2H-pyrido[4,3-b]- and [2,3-b]-1,5-oxazocin-6-ones as NK1 antagonists)
RN 849619-29-0 CAPLUS
CN 6H-Pyrido[2,3-b]-1,5-oxazocin-6-one, 5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-9-(4-methyl-1-piperazinyl)-7-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:777808 CAPLUS Full-text
DN 139:276919
TI Fused bicyclic pyridine derivative as tachykinin receptor antagonist
IN Seto, Shigeki; Tanioka, Asao; Ikeda, Makoto; Izawa, Shigeru
PA Kyorin Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003080626	A1	20031002	WO 2003-JP3487	20030324
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479279	AA	20031002	CA 2003-2479279	20030324
	EP 1489083	A1	20041222	EP 2003-712827	20030324
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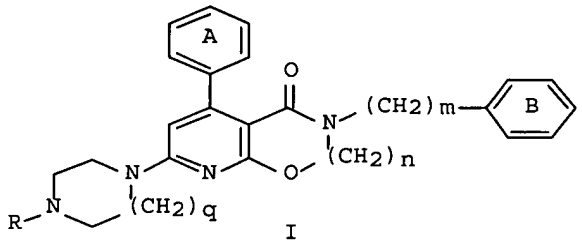
	US 2005101591	A1	20050512	US 2003-508783	20030324
PRAI	JP 2002-84758	A	20020326		
	WO 2003-JP3487	W	20030324		

OS MARPAT 139:276919
GI



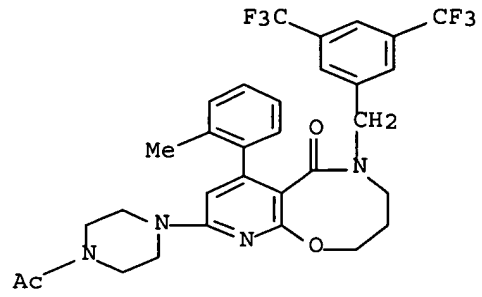
I

AB The patent relates to the preparation of fused bicyclic pyridine derivs. having an antagonistic effect on tachykinin receptors, especially on an NK1 receptor. The fused bicyclic pyridine derivative is represented by the general formula (I) wherein rings A and B each represents a benzene ring optionally having one to three substituents (two adjacent substituents may be bonded to each other to form a ring) each independently selected among halogen atoms, optionally substituted C1-6 alkyls, and optionally substituted C1-6 alkoxys; R = C1-6 alkylsulfonyl, C1-6 alkylcarbonyl, C1-6 alkoxycarbonyl, or formyl; m = 1 or 2; n = 2 or 3; and q = 1 or 2; or a salt of the derivative Thus, the titled compound (where R = acetyl, q = 1, n = 2, m = 1, and A and B = unsubstituted phenyl) prepared by reacting 1-acetylpiperazine with the corresponding precursor having chloro-substitution instead of piperazinyl group of the product was tested in NK1 receptor solution and gave Kb = 0.0888 n mol./L.

IT **605671-33-8P 605671-34-9P 605671-35-0P**
605671-36-1P 605671-37-2P 605671-38-3P
605671-39-4P 605671-40-7P 605671-41-8P

605671-42-9P 605671-43-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of fused bicyclic pyridine derivative as tachykinin receptor antagonist)

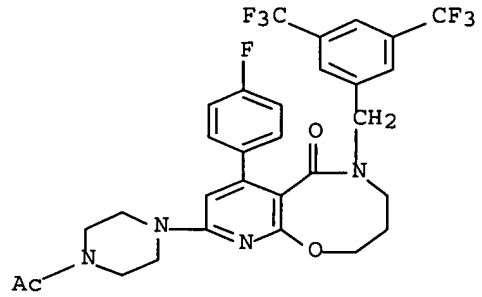
RN 605671-33-8 CAPLUS
CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methylphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)



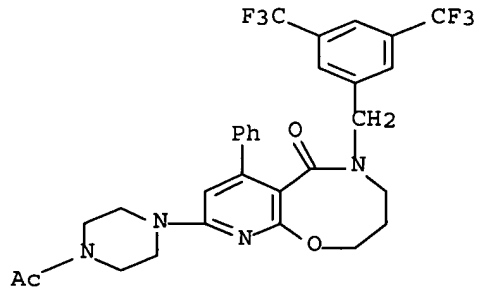
540/454

514/

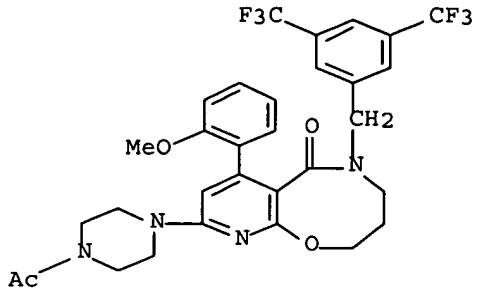
RN 605671-34-9 CAPLUS
CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7-(4-fluorophenyl)-3,4,5,6-tetrahydro-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)



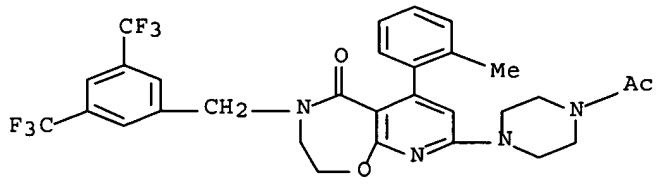
RN 605671-35-0 CAPLUS
CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-6-oxo-7-phenyl-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)



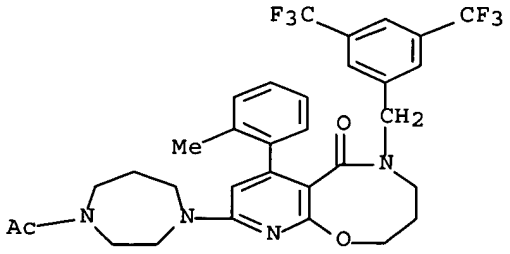
RN 605671-36-1 CAPLUS
CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methoxyphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)



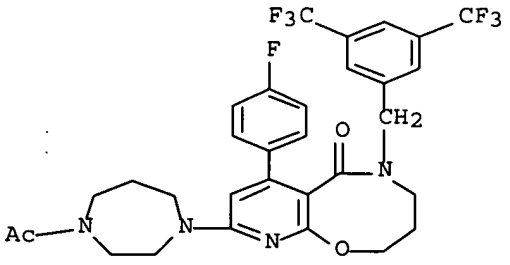
RN 605671-37-2 CAPLUS
CN Piperazine, 1-acetyl-4-[4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-6-(2-methylphenyl)-5-oxopyrido[3,2-f]-1,4-oxazepin-8-yl]- (9CI) (CA INDEX NAME)



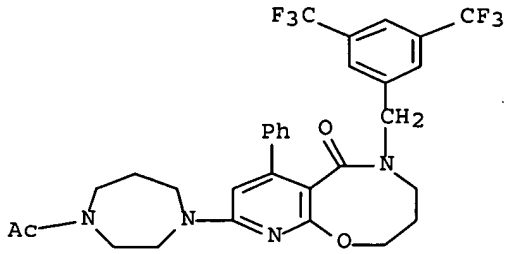
RN 605671-38-3 CAPLUS
CN 1H-1,4-Diazepine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methylphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]hexahydro- (9CI) (CA INDEX NAME)



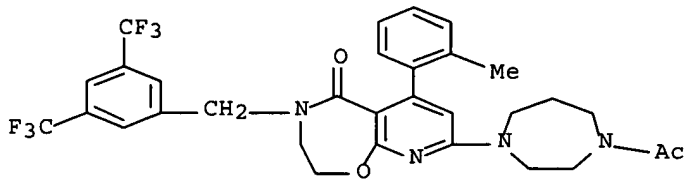
RN 605671-39-4 CAPLUS
CN 1H-1,4-Diazepine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7-(4-fluorophenyl)-3,4,5,6-tetrahydro-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]hexahydro- (9CI) (CA INDEX NAME)



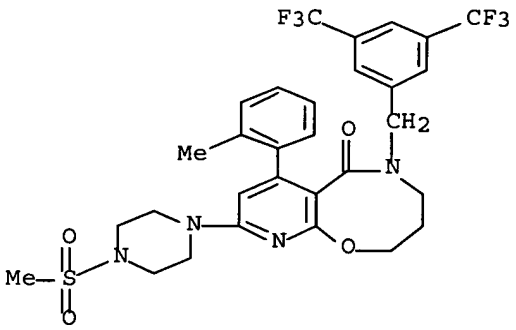
RN 605671-40-7 CAPLUS
CN 1H-1,4-Diazepine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-6-oxo-7-phenyl-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]hexahydro- (9CI) (CA INDEX NAME)



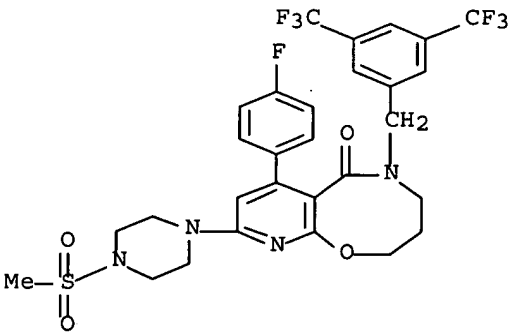
RN 605671-41-8 CAPLUS
CN 1H-1,4-Diazepine, 1-acetyl-4-[4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-6-(2-methylphenyl)-5-oxopyrido[3,2-f]-1,4-oxazepin-8-yl]hexahydro- (9CI) (CA INDEX NAME)



RN 605671-42-9 CAPLUS
CN Piperazine, 1-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methylphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazin-9-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 605671-43-0 CAPLUS
CN Piperazine, 1-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7-(4-fluorophenyl)-3,4,5,6-tetrahydro-6-oxo-2H-pyrido[2,3-b]-1,5-oxazin-9-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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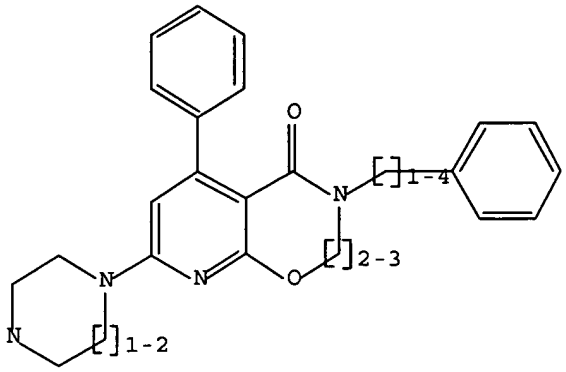
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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L4 12 S L2 FUL

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FILE 'BEILSTEIN' ENTERED AT 18:23:39 ON 23 JUN 2005
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L8 0 S L1
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L10 0 S L9 NOT L5

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	113.53	285.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.46

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